Access DB# 33450

17

# SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Sa	beha Oza	Examiner #: #414/ Date: 9/23/04
Art Unit: Phone	Number 36 2062	Serial Number: 19/6/6, 333
fail Box and Bldg/Room Locatio	n: Resi	Serial Number: 10/616, 33.3  Ilts Format Preferred (circle) PAPER DISK E-MAIL
f more than one search is subr	nitted, please prioritiz	te searches in order of need.
lease provide a detailed statement of the include the elected species or structures, tility of the invention. Define any terms nown, Please attach a copy of the cover	search topic, and describe keywords, synonyms, acron that may have a special mo sheet, pertinent claims, and	as specifically as possible the subject matter to be searched.  yms, and registry numbers, and combine with the concept or raning. Give examples or relevant citations, authors, etc., if abstract.
itle of Invention:	ole for Tree	eling Cytokine-Mediated
nventors (please provide full names).		
A	dams et	al
Earliest Priority Filing Date://	18/1994 -	(5/8/96 4
		parent, child, divisional, or issued patent numbers) along with the
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TAFF USE ONLY	Type of Search	Vendors and cost where applicable
archer:	NA Sequence (#)	STN V
archer Phone #: \\ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \	AA Sequence (#)	Dialog
archer Location:	Structure (#)	Questel/Orbit
ate Searcher Picked Up: 9/29	Bibliographic	Dr.Link
ale Completed: 9/29	Litigation	Lexis/Nexis
archer Prep & Review Time:	Fulltext	Sequence Systems
erical Prep Time:	Patent Family	WWW/Internet
nline Time: + 2	Other	Other (specify)

=> fil reg

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STRUCTURE FILE UPDATES: 28 SEP 2004 HIGHEST RN 753424-73-6 DICTIONARY FILE UPDATES: 28 SEP 2004 HIGHEST RN 753424-73-6

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> d l16 ide can

L16 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN

RN 166895-13-2 REGISTRY

CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C13 H9 F N4 O

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

· 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 123:152881

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(FILE 'HOME' ENTERED AT 13:16:46 ON 29 SEP 2004)

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                 E ADAMES JER/AU
                 E ADAMES JER/AU
                 E ADAMS JER/AU
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                E C13H9FN4O/MF
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              3 S L16
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FILE COVERS 1907 - 29 Sep 2004 VOL 141 ISS 14 FILE LAST UPDATED: 28 Sep 2004 (20040928/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L20 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN
AN
     1995:756382 HCAPLUS
DN
    123:152881
ED
    Entered STN: 25 Aug 1995
    Oxazoles for treating cytokine-mediated diseases
TI
    Adams, Jerry Leroy; Gallagher, Timothy Francis;
    Boehm, Jeffrey Charles; Thompson, Susan Mary
PA
    SmithKline Beecham Corp., USA
SO
    PCT Int. Appl., 47 pp.
    CODEN: PIXXD2
DT
    Patent
LA
    English
IC
    ICM A61K031-44
    ICS A61K031-505; C07D413-04
CC
    63-5 (Pharmaceuticals)
    Section cross-reference(s): 28
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    PATENT NO.
                      KIND DATE
                                      APPLICATION NO.
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                       A1
                            19950518 WO 1994-US13067
                                                           19941108 <--
        W: JP, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
    EP 727998
              A1 19960828 EP 1995-902538
                                                           19941108 <--
    EP 727998
                       В1
                            20040121
        R: BE, CH, DE, FR, GB, IT, LI, NL
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                                                            19941108 <--
    EP 1306377
                       A2
                             20030502
                                       EP 2003-75256
                                                            19941108
    EP 1306377
                       А3
                            20030507
        R: BE, CH, DE, FR, GB, IT, LI, NL
    US 6288062
                  B1
                                     US 1999-467164
                            20010911
                                                            19991220 <--
    US 2002169173
                      A1
                            20021114
                                     US 2001-769096
                                                           20010125 <--
    US 2004106793
                      A1
                            20040603
                                      US 2003-616333
                                                            20030709 <--
PRAI US 1993-148705
                      Α
                            19931108
    EP 1995-902538
                      A3
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    WO 1994-US13067
                       W
                            19941108
                                     <--
    US 1996-640966
                      В1
                            19960508
                                     <---
    US 1999-467164
                       Α
                            19991220
    US 2001-769096
                       B1
                            20010125
CLASS
PATENT NO.
              CLASS PATENT FAMILY CLASSIFICATION CODES
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WO 9513067
              ICM
                     A61K031-44
               ICS
                     A61K031-505; C07D413-04
EP 1306377 -
              ECLA
                     C07D413/04
US 2004106793 ECLA
                     C07D413/04; C07D413/04
                                                                    <---
   MARPAT 123:152881
    A method of inhibiting cytokines and treating cytokine-mediated diseases,
    comprises administration of the novel oxazole compds. The
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cytokine-mediated diseases include asthma, adult respiratory distress syndrome, stroke, bone resorption diseases, arthritic joint conditions, and other inflammatory diseases. For example, 14 compds. were prepared, st

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NAME)

such as 5-(3-methoxyphenyl)-2-methyl-4-(4-pyridyl)oxazole and cytokine-inhibiting effects of the compds. were in vitro tested by monitoring interleukin 1, tumor necrosis factor, and interleukin 8. oxazole deriv prepn cytokine mediated disease Inflammation inhibitors (preparation of oxazoles for treating cytokine-mediated diseases) Lymphokines and Cytokines RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process) (preparation of oxazoles for treating cytokine-mediated diseases) Bone, disease (resorption; preparation of oxazoles for treating cytokine-mediated diseases) Respiratory distress syndrome (adult, preparation of oxazoles for treating cytokine-mediated diseases) Inflammation inhibitors (antiarthritics, preparation of oxazoles for treating cytokine-mediated diseases) Bronchodilators (antiasthmatics, preparation of oxazoles for treating cytokine-mediated diseases) Lymphokines and Cytokines RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process) (interleukin 1, preparation of oxazoles for treating cytokine-mediated diseases) Brain, disease (stroke, preparation of oxazoles for treating cytokine-mediated diseases) Lymphokines and Cytokines RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process) (tumor necrosis factor, preparation of oxazoles for treating cytokine-mediated diseases) 75-12-7, Formamide, reactions 127-09-3, Sodium acetate 420-04-2, 459-57-4, p-Fluorobenzaldehyde Cyanamide 532-32-1, Sodium benzoate 587-04-2, 3-Chlorobenzaldehyde 635-39-2, Guanine hydrochloride 872-85-5, Pyridine-4-carboxaldehyde 4637-24-5 5470-11-1, Hydroxylamine hydrochloride 5807-14-7 6287-38-3, 3,4-Dichlorobenzaldehyde 6342-56-9, Pyruvic aldehyde dimethylacetal 6576-05**-**2 6921-34-2, Benzyl magnesium chloride 14254-57-0, Isonicotinoyl chloride 26445-03-4, 63875-01-4, 2-Methylpyridine-4-carboxaldehyde Thiocresol 36768-62-4 165807-06-7 166895-17-6 RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of oxazoles for treating cytokine-mediated diseases) 1017-24-9P 2116-61**-**2P 113397-59-4P 165806-85**-**9P 165807-05-6P 166895-14-3P 166895-15-4P 166895-16-5P 166895-18-7P 166895-19-8P 166895-20-1P 166895-21-2P 166895-22-3P 166895-23-4P 166895-24-5P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of oxazoles for treating cytokine-mediated diseases) 166895-02-9P 166895-00-7P 166895-01-8P 166895-03-0P 166895-04-1P 166895-05-2P 166895-06-3P 166895-07-4P 166895-08-5P 166895-09-6P 166895-10-9P 166895-11-0P 166895-12-1P **166895-13-2P** RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of oxazoles for treating cytokine-mediated diseases) 166895-13-2P RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of oxazoles for treating cytokine-mediated diseases) 166895-13-2 HCAPLUS 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI)

=> fil uspatall FILE 'USPATFULL' ENTERED AT 13:22:47 ON 29 SEP 2004 CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 13:22:47 ON 29 SEP 2004 CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

=> d bib abs hitstr tot 121

L21 ANSWER 1 OF 3 USPATFULL on STN AN 2004:139617 USPATFULL

Oxazoles for treating cytokine mediated diseases ŢΙ

Adams, Jerry Leroy, Wayne, PA, UNITED STATES IN Gallagher, Timothy Francis, Harleysville, PA, UNITED STATES Boehm, Jeffrey Charles, King of Prussia, PA, UNITED STATES Thompson, Susan Mary, Phoenixville, PA, UNITED STATES

SmithKline Beecham Corporation (U.S. corporation) PA

PΤ US 2004106793 A1 20040603

US 2003-616333 AΙ 20030709 (10) A1

Continuation of Ser. No. US 2001-769096, filed on 25 Jan 2001, ABANDONED RLI Division of Ser. No. US 1999-467164, filed on 20 Dec 1999, GRANTED, Pat. No. US 6288062 Continuation of Ser. No. US 1996-640966, filed on 8 May 1996, ABANDONED A 371 of International Ser. No. WO 1994-US13067, filed on 8 Nov 1994, PENDING Continuation-in-part of Ser. No. US 1993-148705, filed on 8 Nov 1993, ABANDONED

DT Utility

FS APPLICATION

LREP GLAXOSMITHKLINE, Corporate Intellectual Property - UW2220, P.O. Box 1539, King of Prussia, PA, 19406-0939

CLMN Number of Claims: 16 ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 1848

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

This invention relates to the novel oxazole compounds of Formula (I) and novel pharmaceutical compositions comprising a compound of Formula (I) and a pharmaceutically acceptable diluent or carrier.

This invention also relates to a method of inhibiting cytokines and the treatment of cytokine mediated diseases, in mammals, thereby by administration of an effective amount of a compound according to Formula

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 166895-13-2P

RN

(preparation of oxazoles for treating cytokine-mediated diseases) 166895-13-2 USPATFULL

CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

L21 ANSWER 2 OF 3 USPATFULL on STN

AN 2002:301628 USPATFULL

TI Oxazoles for treating cytokine mediated diseases

IN Adams, Jerry Leroy, Wayne, PA, UNITED STATES

Gallagher, Timothy Francis, Harleysville, PA, UNITED STATES Boehm, Jeffrey Charles, King of Prussia, PA, UNITED STATES Thompson, Susan Mary, Phoenixville, PA, UNITED STATES

PA SmithKline Beecham Corporation (U.S. corporation)

PI US 2002169173 A1 20021114

AI US 2001-769096 A1 20010125 (9)

RLI Continuation of Ser. No. US 1996-640966, filed on 8 May 1996, ABANDONED Continuation of Ser. No. WO 1994-US13067, filed on 8 Nov 1994, UNKNOWN

PRAI US 1999-467164 19991220 (09)

DT Utility

FS APPLICATION

LREP SMITHKLINE BEECHAM CORPORATION, CORPORATE INTELLECTUAL PROPERTY-US, UW2220, P. O. BOX 1539, KING OF PRUSSIA, PA, 19406-0939

CLMN Number of Claims: 16

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 1848

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to the novel oxazole compounds of Formula (I) and novel pharmaceutical compositions comprising a compound of Formula (I) and a pharmaceutically acceptable diluent or carrier.

This invention also relates to a method of inhibiting cytokines and the treatment of cytokine mediated diseases, in mammals, thereby by administration of an effective amount of a compound according to Formula (I).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 166895-13-2P

(preparation of oxazoles for treating cytokine-mediated diseases)

RN 166895-13-2 USPATFULL

CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

L21 ANSWER 3 OF 3 USPATFULL on STN

AN 2001:152959 USPATFULL

TI Oxazoles for treating cytokine mediated diseases

IN Adams, Jerry Leroy, Wayne, PA, United States

Gallagher, Timothy Francis, Harleysville, PA, United States Boehm, Jeffrey Charles, King of Prussia, PA, United States Thompson, Susan Mary, Phoenixville, PA, United States

PA SmithKline Beecham Corporation, Philadelphia, PA, United States (U.S.

corporation)

PI US 6288062 B1 20010911

AI US 1999-467164 19991220 (9)

RLI Continuation of Ser. No. US 640966, now abandoned Continuation-in-part of Ser. No. US 1993-148705, filed on 8 Nov 1993

DT Utility

FS GRANTED

EXNAM Primary Examiner: Qazi, Sabiha

LREP Dinner, Dara L., Venetianer, Stephen, Kinzig, Charles M.

CLMN Number of Claims: 16

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 1840

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

This invention relates to the novel oxazole compounds of Formula (I) and novel pharmaceutical compositions comprising a compound of Formula (I) and a pharmaceutically acceptable diluent or carrier. This invention also relates to a method of inhibiting cytokines and the treatment of cytokine mediated diseases, in mammals, thereby by administration of an effective amount of a compound according to Formula (I).

## CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 166895-13-2P

(preparation of oxazoles for treating cytokine-mediated diseases)

RN 166895-13-2 USPATFULL

CN 2-Pyrimidinamine, 4-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

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TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> d sta que 132

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NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

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NR > = 3

L29 STR

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 19

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             50 S E1-E50
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11 S L12 AND 46.150.18/RID AND NCOC2/ES AND 3/NR

1 S L13 NOT NC5/ES

L13

L14

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              1 S E3 AND (C6 AND NCNC3 AND NCOC2)/ES
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L16
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                SEL RN
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               0 S E1/CRN
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L20
              1 S L19 AND L1-L11
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     FILE 'USPATFULL, USPAT2' ENTERED AT 13:22:47 ON 29 SEP 2004
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L24
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L25
L26
            623 S L25 AND 16.239.9/RID
L27
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L28
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L29
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L30
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L31
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L32
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L33
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L34
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L35
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L36
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L38
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L39
              0 S L35
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L40
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L41
              1 S L35
L42
              4 S L40, L41
L43
              0 S L42 AND (PY<=1996 OR PRY<=1996 OR AY<=1996)
     FILE 'USPATFULL, USPAT2' ENTERED AT 13:34:21 ON 29 SEP 2004
L44
              3 S L37 OR L35
L45
              0 S L44 AND (PY<=1996 OR PRY<=1996 OR AY<=1996)
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L46
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qazi - 10 / 616333 FILE 'HCAPLUS' ENTERED AT 13:36:38 ON 29 SEP 2004 L51 3 S L49 L52 1 S L51 AND (PY<=1996 OR PRY<=1996 OR AY<=1996) FILE 'REGISTRY' ENTERED AT 13:37:40 ON 29 SEP 2004 => fil hcaplus FILE 'HCAPLUS' ENTERED AT 13:38:15 ON 29 SEP 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS) Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited. FILE COVERS 1907 - 29 Sep 2004 VOL 141 ISS 14 FILE LAST UPDATED: 28 Sep 2004 (20040928/ED) This file contains CAS Registry Numbers for easy and accurate substance identification. => d 152 all hitstr ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN AN 1995:92475 HCAPLUS DN122:31451 EDEntered STN: 08 Nov 1994 TI Heterocyclization based on 1-[benzoyl(benzoylamino)methyl]uracil ΑU Khutova, B. M.; Klyuchko, S. V.; Prikazchikova, L. P.; Drach, B. S. CS Inst. Bioorg. Khim. Neftekhim., Kiev, Ukraine Ukrainskii Khimicheskii Zhurnal (Russian Edition) (1993), SO 59(10), 1067-70 CODEN: UKZHAU; ISSN: 0041-6045 DT Journal LA Russian CC28-16 (Heterocyclic Compounds (More Than One Hetero Atom)) Reaction of 1-[benzoyl(benzoylamino)methyl]uracil with thionyl chloride or AB phosphorus pentasulfide led to 1-(4-oxazolyl)uracils and 1-(4-thiazolyl)-4-thiouracils. The structures of the products were proved by PMR spectroscopy. ST uracil benzamidobenzoylmethyl cyclization; cyclization benzamidobenzoylmethyluracil; oxazolyluracil; thiazolylthiouracil; thiouracil thiazolyl TΥ Ring closure and formation (in [benzoyl(benzoylamino)methyl]uracil reactions with thionyl chloride and phosphorus pentasulfide) IT 159830-46-3P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

T 159830-53-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with aniline)

(Reactant or reagent)

(preparation and reaction with amines)

#### IT 159830-45-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction with phosphorus pentasulfide)

IT 159830-47-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction with thionyl chloride)

IT 159830-48-5P 159830-49-6P 159830-50-9P

159830-51-0P 159830-52-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

IT 1314-80-3, Phosphorus pentasulfide 7719-09-7, Thionyl chloride

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction with [benzoyl(benzoylamino)methyl]uracil)

IT 62-53-3, Aniline, reactions 110-91-8, Morpholine, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction with dichlorooxazolyluracil derivative)

IT 130925-51-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction with phosphorus pentasulfide and with thionyl chloride)

IT 159830-46-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction with amines)

RN 159830-46-3 HCAPLUS

CN 2(1H)-Pyrimidinone, 4,5-dichloro-1-(2,5-diphenyl-4-oxazolyl)- (9CI) (CA INDEX NAME)

## IT 159830-45-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction with phosphorus pentasulfide)

RN 159830-45-2 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-chloro-1-(2,5-diphenyl-4-oxazolyl)- (9CI) (CA INDEX NAME)

### IT 159830-48-5P 159830-49-6P 159830-50-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 159830-48-5 HCAPLUS

CN 2(1H)-Pyrimidinone, 5-chloro-1-(2,5-diphenyl-4-oxazolyl)-3,4-dihydro-4-thioxo-(9CI) (CA INDEX NAME)

RN 159830-49-6 HCAPLUS

CN 2(1H)-Pyrimidinone, 5-chloro-1-(2,5-diphenyl-4-oxazolyl)-4-(phenylamino)-(9CI) (CA INDEX NAME)

RN 159830-50-9 HCAPLUS

CN 2(1H)-Pyrimidinone, 5-chloro-1-(2,5-diphenyl-4-oxazolyl)-4-(4-morpholinyl)-(9CI) (CA INDEX NAME)

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